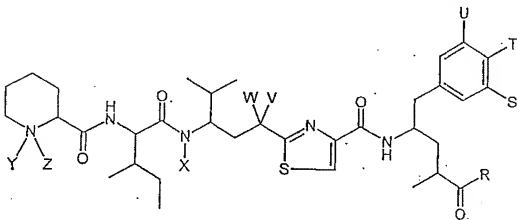


# AMENDMENT

Please amend the application without prejudice, without admission, without surrender of subject matter, and without any intention of creating any estoppel as to equivalents as follows.

## In the Claims

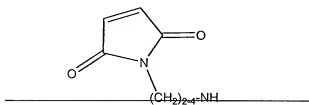
1. Currently Amended) A compound of formula I (tubulysin):



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R = OR<sup>1</sup>

R<sup>1</sup> = [[H,]] alkyl or aryl

$R^2 = H, \text{alkyl or aryl}$

$S = H, \text{Hal}, \text{NO}_2 \text{ or } \text{NHR}^3$

$U = H, \text{Hal}, \text{NO}_2 \text{ or } \text{NHR}^3$

$R^3 = H, \text{HCO or alkyl-CO-}$

$T = H \text{ or } \text{OR}^4$

$R^4 = H, \text{alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = H, \text{alkyl or a metal ion}$

$V = [[H,]] \text{ OR}^7, \text{Hal or (with W=O)-O}$

$R^7 = H, \text{alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = H \text{ or alkyl or (with V)-O}$

$X = H, \text{alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = H, \text{alkyl, alkenyl, aryl or COR}^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for } Z = \text{CH}_3 \text{ or } \text{COR}^{11}) \text{ free electron pair or (for } Z = \text{CH}_3) \text{O}$

$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for } Y = \text{O or free electron pair}) \text{CH}_3 \text{ or (for } Y = \text{free electron pair}) \text{COR}^{11}.$

2. (Currently Amended) The compound according to claim 1, wherein  
 $R, R^1, R^4, R^5, R^8, R^9, R^{10}$  and/or  $R^{11} = \text{unsubstituted or substituted phenyl, especially C}_{1-4}\text{-alkyl-substituted phenyl}$

$R^5 = \text{C}_{1-4}\text{alkyl, C}_{2-6}\text{alkenyl or pyridyl}$

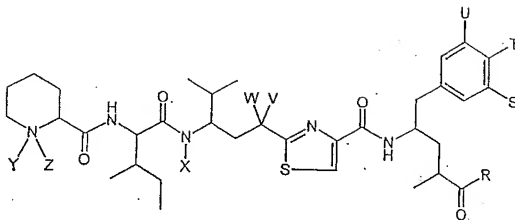
$R^5$  and/or  $X = \text{C}_{2-4}\text{alkenyl}$

$R^6 = \text{an alkali metal ion, especially the Na ion, or an alkaline earth metal ion}$

$R^8$  and/or  $R^9 = \text{C}_{2-4}\text{alkenyl and/or}$

$R^{10} = \text{C}_{2-6}\text{alkenyl, especially C}_{2-4}\text{alkenyl, or pyridyl.}$

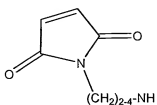
3. (Withdrawn) A process for the preparation of a compound of (type 7)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

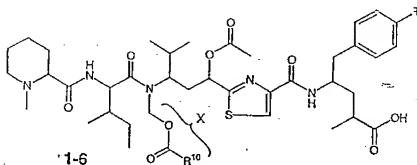
R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = H or OH, V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl, W = H, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = H, Y = free electron pair and Z = CH<sub>3</sub>, wherein a compound of formula II (type 1, 2, 3, 4, 5 or 6):

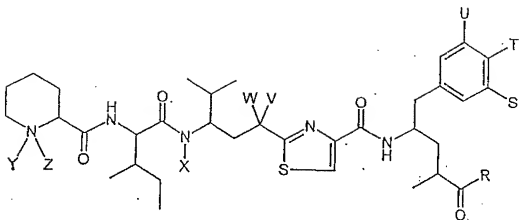


Formula II

wherein X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, especially C<sub>1-6</sub>alkyl, and which otherwise has the meanings indicated above is subjected to ester cleavage in an acidic medium, thereby preparing the compound of formula I having the indicated meanings.

4. (Withdrawn) The process according to claim 3, wherein the ester cleavage is carried out in an organic solvent, especially dioxane, in the presence of an acid, especially hydrogen chloride, and/or at elevated temperature.

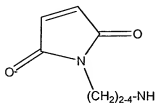
5. (Withdrawn) A process for the preparation of a compound of formula I (type 8)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

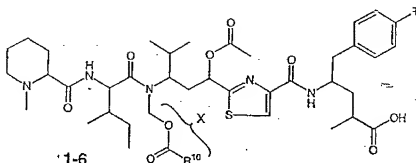
R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = H or OH, V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub> alkyl, especially methyl, W = H, X = H, Y = free electron pair and Z = CH<sub>3</sub>, wherein a compound of formula II (type 1, 2, 3, 4, 5 or 6)

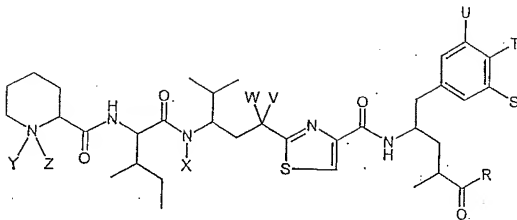


Formula II

wherein X=CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup>=alkyl, preferably C<sub>1-6</sub>alkyl, and which otherwise has the meanings indicated above is subjected to acetal cleavage thereby preparing the compound of formula I having the indicated meanings.

6. (Withdrawn) The process according to claim 5, wherein the acetal cleavage is carried out in an acidic medium, especially in the presence of hydrochloric acid, and/or at elevated temperature.

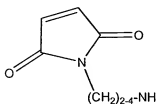
7. (Withdrawn) A process for the preparation of a compound of formula I (type 9)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

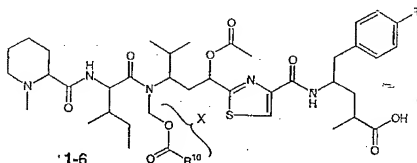
R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = H or OH, V = OR<sup>7</sup>, R<sup>7</sup> = H, W = H, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, especially C<sub>1-6</sub>alkyl, Y = free electron pair and Z = CH<sub>3</sub>, wherein a compound of formula II (type 1, 2, 3, 4, 5 or 6)



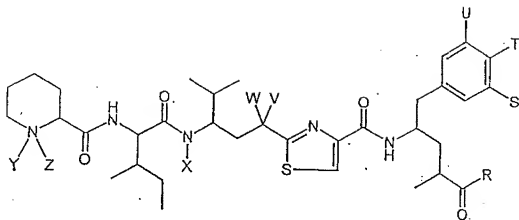
Formula II

wherein V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl, and which otherwise has the meanings indicated above is subjected to ester cleavage in a weakly alkaline medium, thereby preparing the compound of formula I having the indicated meanings.

8. (Withdrawn) The process according to claim 7, wherein the ester cleavage is carried out in an organic medium, especially a hydrophilic organic solvent, preferably an alcohol, especially methanol, in the presence of a weak base, especially NH<sub>3</sub>.

9. (Withdrawn) A process for the preparation of a compound of the general formula I (type 10)

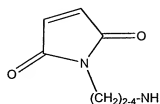




Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

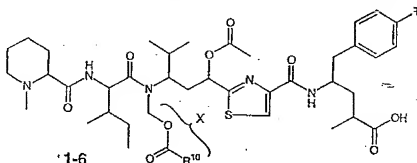
R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = H or OH, V = OR<sup>7</sup>, R<sup>7</sup> = H, W = H, X = H, Y = free electron pair and Z = CH<sub>3</sub>, wherein a compound of the general formula II (type 1, 2, 3, 4, 5 or 6)

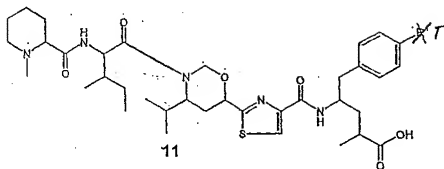


Formula II

wherein V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, especially C<sub>1-6</sub>alkyl, and which otherwise has the meanings indicated above is subjected to double ester cleavage in a strongly alkaline medium, thereby preparing the compound of formula I having the indicated meanings.

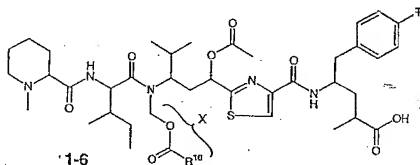
10. (Withdrawn) The process according to claim 9, wherein the double ester cleavage is carried out in an organic medium, especially in a hydrophilic organic solvent, preferably an alcohol, especially methanol, in the presence of a strong base, especially an alkali metal hydroxide, preferably sodium hydroxide.

11. (Withdrawn) A process for the preparation of a compound of formula III (type 11)



Formula III

wherein  $R = OR^1$ ,  $R^1 = H$ ,  $S = U = H$ ,  $T = H$  or  $OR^4$ ,  $R^4 = H$ ,  $V$  with  $X = CH_2O$  bridge,  $W = H$ ,  $Y =$  free electron pair and  $Z = CH_3$  in the general formula according to claim 1, wherein a compound of the general formula II (type 1, 2, 3, 4, 5 or 6)

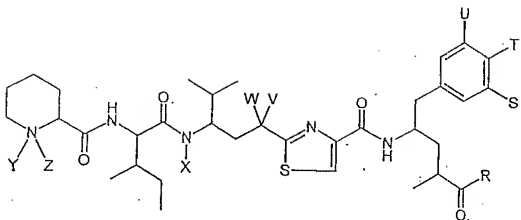


Formula II

wherein  $X = CH_2OR^9$ ,  $R^9 = COR^{10}$ ,  $R^{10} =$  alkyl, especially  $C_{1-6}$ alkyl,  $V = OR^7$ ,  $R^7 = COR^8$ ,  $R^8 =$  alkyl, preferably  $C_{1-4}$ alkyl, especially methyl, and which otherwise has the meanings indicated above is subjected to ring formation with double ester cleavage in an acidic medium, thereby preparing the compound of formula III having the indicated meanings.

12. (Withdrawn) The process according to claim 11, wherein the ring formation is carried out in an aqueous medium, in the presence of an inorganic acid, preferably hydrochloric acid, and with heating.

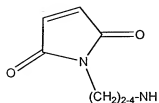
13. (Withdrawn) A process for the preparation of a compound of formula I (type 12)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

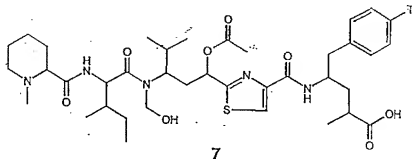
R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = H or OR<sup>4</sup>, R<sup>4</sup> = COR<sup>5</sup>, R<sup>5</sup> = alkyl, especially C<sub>1-6</sub>alkyl, alkenyl, especially C<sub>2-6</sub>alkenyl, aryl or heteroaryl, V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl, W = H, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = R<sup>5</sup>, Y = free electron pair and Z = CH<sub>3</sub>, wherein a compound of formula IV (type 7):

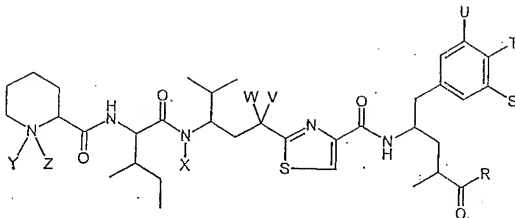


Formula IV

wherein X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = H and which otherwise has the meanings indicated above is subjected to acylation, thereby preparing the compound of formula I having the indicated meanings.

14. (Withdrawn) The process according to claim 13, wherein the acylation is carried out using an acyl halide, especially an acyl chloride, and/or in the presence of a weak base, especially a weak organic base, preferably a tertiary amine, especially triethylamine.

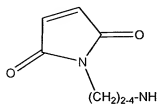
15. (Withdrawn) A process for the preparation of a compound of formula I (type 13)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

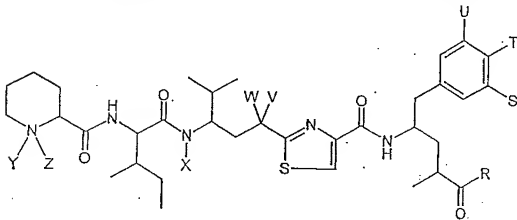
R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = H or OR<sup>4</sup>, R<sup>4</sup> = H, V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl, W = H, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, especially C<sub>1-6</sub>alkyl, alkenyl, especially C<sub>2-6</sub>alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH<sub>3</sub>, wherein hydrolysis is carried out in an alkaline medium on the compound of Formula I wherein T = OR<sup>4</sup>, R<sup>4</sup> = COR<sup>5</sup> and R<sup>5</sup> = alkyl, especially C<sub>1-6</sub>alkyl, alkenyl, especially C<sub>2-6</sub>alkenyl, aryl or heteroaryl and which otherwise has the meanings indicated above, thereby preparing a compound of formula I having the indicated meanings.

16. (Withdrawn) The process according to claim 15, wherein the hydrolysis is carried out using ammonia.

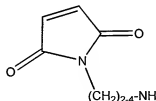
17. (Withdrawn) A process for the preparation of a compound of formula I (type 14)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

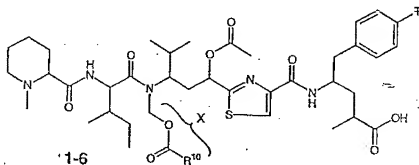
R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or



Z= (for Y = O or free electron pair) CH<sub>3</sub> or (for Y =free electron pair) COR<sup>11</sup>  
wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = H or OH, V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl. W = H, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = alkyl, especially C<sub>1-4</sub> alkyl, alkenyl or aryl, Y = free electron pair and Z = CH<sub>3</sub>, wherein a starting compound of formula II (type 1, 2, 3, 4, 5 or 6)



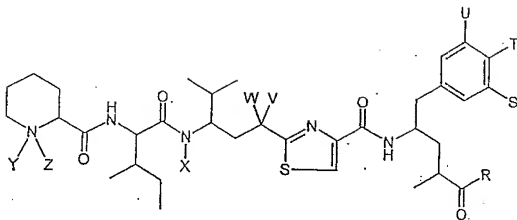
Formula II

is subjected to ester cleavage and is alkylated, thereby preparing the compound of formula I having the indicated meanings.

18. (Withdrawn) The process according to claim 17, wherein the reaction is carried out using an alkylating agent of formula R<sup>9</sup>OH wherein R<sup>9</sup> = alkyl, especially C<sub>1-4</sub>alkyl, alkenyl or aryl.

19. (Withdrawn) The process according to claim 17, wherein the reaction is carried out in the presence of p-CH<sub>3</sub>-C<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>OH in tetrahydrofuran (THF) at elevated temperature.

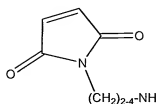
20. (Withdrawn) A process for the preparation of a compound of formula I (type 15)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

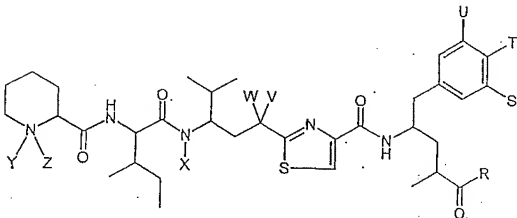
R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = H or OR<sup>4</sup>, R<sup>4</sup> = H V = OR<sup>7</sup>, R<sup>7</sup> = H or COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl, W = H, X = CH<sub>3</sub>, Y = free electron pair and Z = CH<sub>3</sub>, wherein a compound of Formula I (type 7) wherein X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = H and which otherwise has the meanings indicated above is subjected to reduction, thereby preparing the compound of formula I having the indicated meanings.

21. (Withdrawn) The process according to claim 20, wherein the reduction is carried out using NaCNBH<sub>3</sub> and trifluoroacetic acid in methanol (MeOH).

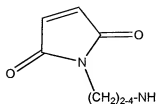
22. (Withdrawn) A process for the preparation of a compound of formula I (type 15)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

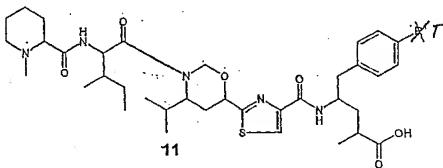
R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein  $R = OR^1$ ,  $R^1 = H$ ,  $S = U = H$ ,  $T = H$  or  $OR^4$ ,  $R^4 = H$ ,  $V = OR^7$ ,  $R^7 = H$  or  $COR^8$ ,  $R^8 =$  alkyl, especially  $C_{1-4}$ alkyl, especially methyl,  $W = H$ ,  $X = CH_3$ ,  $Y =$  free electron pair and  $Z = CH_3$ , wherein a compound of the general formula III (type 11)

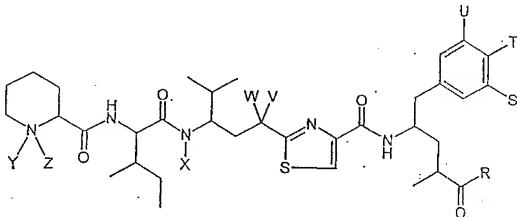


Formula III

is subjected to ring opening with reduction or to reduction with ring opening, thereby preparing the compound of formula I having the indicated meanings.

23. (Withdrawn) The process according to claim 22, wherein the reaction is carried out in the presence of  $NaCNBH_3$  and  $Me_3SiCl$  in acetonitrile ( $CH_3CN$ ).

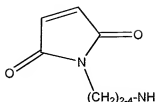
24. (Withdrawn) A process for the preparation of a compound of formula I (type 16)



Formula I

wherein  $R, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}, S, T, U, V, W, X, Y$  and  $Z$  have the following meanings:

$R = H$ , alkyl, aryl,  $OR^1$ ,  $NR^1R^2$  or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

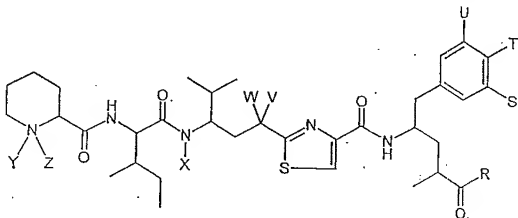
Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = H or OH, V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, especially C<sub>1-4</sub>alkyl, alkenyl or aryl, W = H, X = CH<sub>2</sub>, OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, especially C<sub>1-6</sub>alkyl, or

alkenyl, Y = free electron pair and Z = CH<sub>3</sub>, wherein the compound of Formula I (type 9) wherein V = OR<sup>7</sup> and R<sup>7</sup> = H and which otherwise has the meanings indicated above is subjected to acylation, thereby preparing the compound of formula I having the indicated meanings.

25. (Withdrawn) The process according to claim 24, wherein the acylation is carried out using an acyl halide of formula R<sup>8</sup>COCl wherein R<sup>8</sup> = alkyl, especially C<sub>1-4</sub>alkyl, alkenyl or aryl, especially an acyl chloride, and/or in the presence of a base, especially an organic base, preferably a trialkylamine, especially triethylamine.

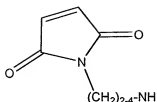
26. (Withdrawn) A process for the preparation of a compound of formula I (type 17)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

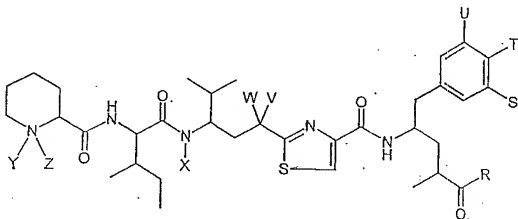
wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = H or OR<sup>4</sup>, R<sup>4</sup> = H, V = H or F, W = H, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, especially C<sub>1-6</sub>alkyl, or alkenyl, Y = free electron pair and Z = CH<sub>3</sub>,



wherein the compound of Formula I (type 9) wherein  $V = OR^7$  and  $R^7 = H$  and which otherwise has the meanings indicated above is subjected to catalytic hydrogenation or fluorination, thereby preparing the compound of formula I having the indicated meanings.

27. (Withdrawn) The process according to claim 26, wherein, for  $V = H$ , the hydrogenation is carried out using palladium-on-carbon in the presence of acetic acid and, for  $V = F$ , the fluorination is carried out using DAST in tetrahydrofuran.

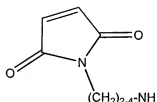
28. (Withdrawn) A process for the preparation of a compound of formula (type 18)



Formula I

wherein  $R, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}, S, T, U, V, W, X, Y$  and  $Z$  have the following meanings:

$R = H$ , alkyl, aryl,  $OR^1$ ,  $NR^1R^2$  or



$R^1 = \text{H, alkyl or aryl}$

$R^2 = \text{H, alkyl or aryl}$

$S = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$U = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$R^3 = \text{H, HCO or alkyl-CO}$

$T = \text{H or OR}^4$

$R^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with V) O}$

$X = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for } Z = \text{CH}_3 \text{ or } \dot{\text{C}}\text{OR}^{11}) \text{ free electron pair or (for } Z = \text{CH}_3) \text{ O}$

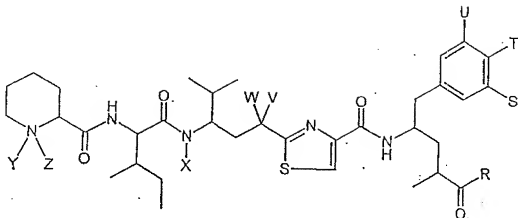
$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for } Y = \text{O or free electron pair}) \text{CH}_3 \text{ or (for } Y = \text{free electron pair}) \text{COR}^{11}$

wherein  $R = \text{OR}^1$ ,  $R^1 = \text{H}$ ,  $S = U = \text{H}$ ,  $T = \text{H or OR}^4$ ,  $R^4 = \text{H}$ ,  $V$  with  $W = \text{O}$ ,  $X = \text{CH}_2\text{OR}^9$ ,  $R^9 = \text{COR}^{10}$ ,  $R^{10} = \text{alkyl, especially C}_{1-6}\text{alkyl, or alkenyl}$ ,  $Y = \text{free electron pair and } Z = \text{CH}_3$ , wherein the compound of Formula I (type 9) wherein  $V = \text{OR}^7$  and  $R^7 = \text{H}$  and which otherwise has the meanings indicated above is subjected to oxidation with formation of a ketone, thereby preparing the compound of formula I having the indicated meanings.

29. (Withdrawn) The process according to claim 28, wherein the oxidation is carried out in the presence of TPAP and NMO in dichloromethane.

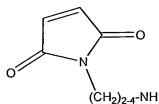
30. (Withdrawn) A process for the preparation of a compound of formula I (type 19)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

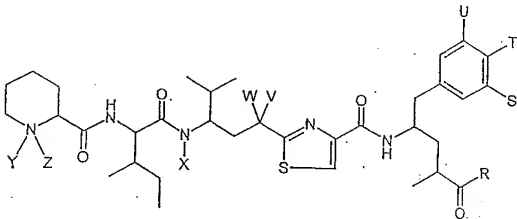
R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = H or OH, V = OR<sup>7</sup>, R<sup>7</sup> = H, W = alkyl, especially C<sub>1-4</sub>alkyl, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, especially C<sub>1-6</sub>alkyl, or alkenyl, Y = free electron pair and Z = CH<sub>3</sub>, wherein the compound of Formula I (type 18) is reacted with a Grignard compound to form the compound of formula I having the indicated meanings.

31. (Withdrawn) The process according to claim 30, wherein the reaction is carried out using an organomagnesium compound of formula WMgHal wherein W = alkyl and especially C<sub>1-4</sub>alkyl.

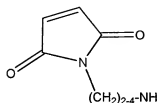
32. (Withdrawn) A process for the preparation of a compound of formula I (type 19)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

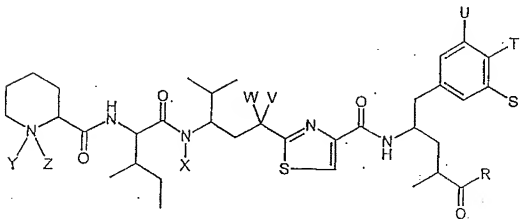
R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein  $R = OR^1$ ,  $R^1 = H$ ,  $S = U = H$ ,  $T = H$  or  $OH$ ,  $V = OR^7$ ,  $R^7 = H$ ,  $W = \text{alkyl}$  and especially  $C_{1-4}\text{alkyl}$ ,  $X = CH_2OR^9$ ,  $R^9 = COR^{10}$ ,  $R^{10} = \text{alkyl}$ , especially  $C_{1-6}\text{alkyl}$ , or alkenyl,  $Y = \text{free electron pair}$  and  $Z = CH_3$ , wherein

- (i) in a first step a process according to claim 28 is carried out and then
- (ii) in a second step a process according to claim 30 is carried out, thereby preparing the compound of formula I having the indicated meanings.

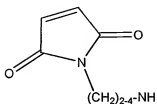
33. (Withdrawn) A process for the preparation of a compound of formula I (type 20)



Formula I

wherein  $R, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}, S, T, U, V, W, X, Y$  and  $Z$  have the following meanings:

$R = H, \text{alkyl, aryl, } OR^1, NR^1R^2 \text{ or}$



$R^1 = H, \text{alkyl or aryl}$

$R^2 = H$ , alkyl or aryl

$S = H$ , Hal,  $NO_2$  or  $NHR^3$

$U = H$ , Hal,  $NO_2$  or  $NHR^3$

$R^3 = H$ , HCO or alkyl-CO

$T = H$  or  $OR^4$

$R^4 = H$ , alkyl, aryl,  $COR^5$ ,  $P(O)(OR^6)_2$  or  $SO_3R^6$

$R^5 =$  alkyl, alkenyl, aryl or heteroaryl

$R^6 = H$ , alkyl or a metal ion

$V = H$ ,  $OR^7$ , Hal or (with  $W = O$ ) O

$R^7 = H$ , alkyl or  $COR^8$

$R^8 =$  alkyl, alkenyl or aryl

$W = H$  or alkyl or (with  $V$ ) O

$X = H$ , alkyl, alkenyl or  $CH_2OR^9$

$R^9 = H$ , alkyl, alkenyl, aryl or  $COR^{10}$

$R^{10} =$  alkyl, alkenyl, aryl or heteroaryl

$Y =$  (for  $Z = CH_3$  or  $COR^{11}$ ) free electron pair or (for  $Z = CH_3$ ) O

$R^{11} =$  alkyl,  $CF_3$  or aryl and/or

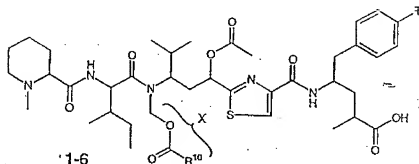
$Z =$  (for  $Y = O$  or free electron pair)  $CH_3$  or (for  $Y =$  free electron pair)  $COR^{11}$

wherein  $R = OR^1$ ,  $R^1 =$  alkyl, especially  $C_{1-4}$ alkyl, or alkenyl,  $S = U = H$ ,  $T = H$  or  $OR^4$ ,  $R^4 = H$ ,

$V = OR^7$ ,  $R^7 = COR^8$ ,  $R^8 =$  alkyl, preferably  $C_{1-4}$ alkyl, especially methyl,  $W = H$ ,  $X = CH_2OR^9$ ,

$R^9 = COR^{10}$ ,  $R^{10} =$  alkyl, especially  $C_{1-6}$ alkyl, alkenyl, especially  $C_{2-6}$ alkenyl, aryl or heteroaryl,

$Y =$  free electron pair and  $Z = CH_3$ , wherein a compound of Formula II (type 1, 2, 3, 4, 5 or 6)

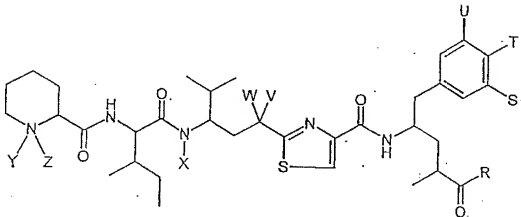


Formula II

or the compound of Formula I (type 13) is subjected to alkylation or alkenylation, thereby preparing the compound of formula I having the indicated meanings.

34. (Withdrawn) The process according to claim 33, wherein the alkylation or alkenylation is carried out in the presence of EDC,  $R^1OH$  wherein  $R^1$  = alkyl, especially  $C_1$ - $C_4$ alkyl, or alkenyl, and DMAP in methylene chloride.

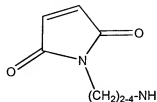
35. (Withdrawn) A process for the preparation of a compound of formula I (type 21)



Formula I

wherein R,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ , S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl,  $OR^1$ ,  $NR^1R^2$  or



$R^1$  = H, alkyl or aryl



$R^2 = H$ , alkyl or aryl

$S = H$ , Hal,  $NO_2$  or  $NHR^3$

$U = H$ , Hal,  $NO_2$  or  $NHR^3$

$R^3 = H$ , HCO or alkyl-CO

$T = H$  or  $OR^4$

$R^4 = H$ , alkyl, aryl,  $COR^5$ ,  $P(O)(OR^6)_2$  or  $SO_3R^6$

$R^5 =$  alkyl, alkenyl, aryl or heteroaryl

$R^6 = H$ , alkyl or a metal ion

$V = H$ ,  $OR^7$ , Hal or (with  $W = O$ ) O

$R^7 = H$ , alkyl or  $COR^8$

$R^8 =$  alkyl, alkenyl or aryl

$W = H$  or alkyl or (with  $V$ ) O

$X = H$ , alkyl, alkenyl or  $CH_2OR^9$

$R^9 = H$ , alkyl, alkenyl, aryl or  $COR^{10}$

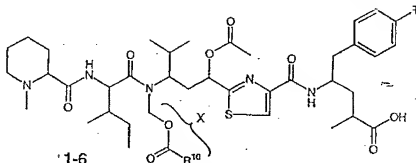
$R^{10} =$  alkyl, alkenyl, aryl or heteroaryl

$Y =$  (for  $Z = CH_3$  or  $COR^{11}$ ) free electron pair or (for  $Z = CH_3$ ) O

$R^{11} =$  alkyl,  $CF_3$  or aryl and/or

$Z =$  (for  $Y = O$  or free electron pair)  $CH_3$  or (for  $Y =$  free electron pair)  $COR^{11}$

wherein  $R = NHR^1$ ,  $NH-NR^1R^2$ ,  $NHOR^1$  or  $NH((CH_2)_{2-4}NR^1R^2)$ ,  $R^1$  and  $R^2$ , each independently of the other = H, alkyl, especially  $C_{1-6}$ alkyl, or aryl,  $S = U = H$ ,  $T = H$  or  $OR^4$ ,  $R^4 = H$ ,  $V = OR^7$ ,  $R^7 = COR^8$ ,  $R^8 =$  alkyl, preferably  $C_{1-4}$ alkyl, especially methyl,  $W = H$ ,  $X = CH_2OR^9$ ,  $R^9 = COR^{10}$ ,  $R^{10} =$  alkyl, especially  $C_{1-6}$ alkyl, alkenyl, especially  $C_{2-6}$ alkenyl, aryl or heteroaryl,  $Y =$  free electron pair and  $Z = CH_3$ , wherein a compound of Formula II (type 1, 2, 3, 4, 5 or 6)



Formula II

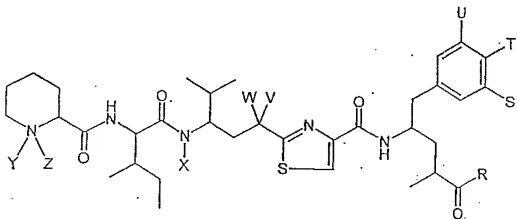
or the compound of Formula I (type 13) is subjected to amination using a compound of formula  $\text{RHNH}_2$ , R having the indicated meanings, thereby preparing the compound of formula I having the indicated meanings.

36. (Withdrawn) The process according to claim 35, wherein the reaction is carried out

(i) in the presence of EDC in methylene chloride or

(ii) in the presence of isobutyl chloroformate and triethylamine in THF.

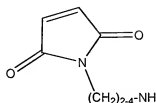
37. (Withdrawn) A process for the preparation of a compound of formula (type 22)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



$\text{R}^1 = \text{H}$ , alkyl or aryl

$\text{R}^2 = \text{H}$ , alkyl or aryl

$\text{S} = \text{H}$ , Hal,  $\text{NO}_2$  or  $\text{NHR}^3$

$\text{U} = \text{H}$ , Hal,  $\text{NO}_2$  or  $\text{NHR}^3$

$\text{R}^3 = \text{H}$ ,  $\text{HCO}$  or alkyl-CO

$\text{T} = \text{H}$  or  $\text{OR}^4$

$\text{R}^4 = \text{H}$ , alkyl, aryl,  $\text{COR}^5$ ,  $\text{P}(\text{O})(\text{OR}^6)_2$  or  $\text{SO}_3\text{R}^6$

$\text{R}^5 = \text{alkyl}$ , alkenyl, aryl or heteroaryl

$\text{R}^6 = \text{H}$ , alkyl or a metal ion

$\text{V} = \text{H}$ ,  $\text{OR}^7$ , Hal or (with  $\text{W} = \text{O}$ ) O

$\text{R}^7 = \text{H}$ , alkyl or  $\text{COR}^8$

$\text{R}^8 = \text{alkyl}$ , alkenyl or aryl

$\text{W} = \text{H}$  or alkyl or (with  $\text{V}$ ) O

$\text{X} = \text{H}$ , alkyl, alkenyl or  $\text{CH}_2\text{OR}^9$

$\text{R}^9 = \text{H}$ , alkyl, alkenyl, aryl or  $\text{COR}^{10}$

$\text{R}^{10} = \text{alkyl}$ , alkenyl, aryl or heteroaryl

$\text{Y} = (\text{for } \text{Z} = \text{CH}_3 \text{ or } \text{COR}^{11}) \text{ free electron pair or (for } \text{Z} = \text{CH}_3) \text{ O}$

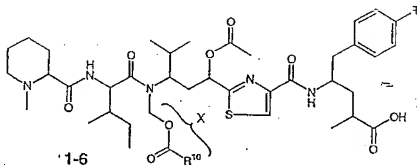
$\text{R}^{11} = \text{alkyl}$ ,  $\text{CF}_3$  or aryl and/or

$\text{Z} = (\text{for } \text{Y} = \text{O} \text{ or free electron pair}) \text{ CH}_3 \text{ or (for } \text{Y} = \text{free electron pair}) \text{ COR}^{11}$

wherein  $\text{R} = \text{alkyl}$ , especially  $\text{C}_{1-4}\text{alkyl}$ , or alkenyl,  $\text{S} = \text{U} = \text{H}$ ,  $\text{T} = \text{H}$  or  $\text{OR}^4$ ,  $\text{R}^4 = \text{H}$ ,  $\text{V} = \text{OR}^7$ ,

$\text{R}^7 = \text{COR}^8$ ,  $\text{R}^8 = \text{alkyl}$ , preferably  $\text{C}_{1-4}\text{alkyl}$ , especially methyl,  $\text{W} = \text{H}$ ,  $\text{X} = \text{CH}_2\text{OR}^9$ ,  $\text{R}^9 =$

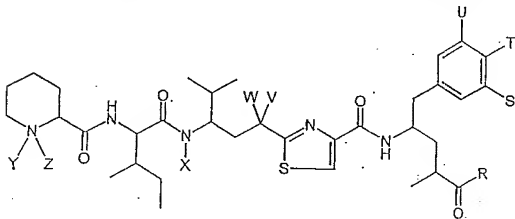
$COR^{10}$ ,  $R^{10}$  = alkyl, especially  $C_{1-6}$ alkyl, alkenyl, especially  $C_{2-6}$ alkenyl, aryl or heteroaryl, Y = free electron pair and Z =  $CH_3$ , wherein a compound of Formula II (type 1, 2, 3, 4, 5 or 6)



Formula II

or the compound of Formula I (type 13) is reacted with an organolithium compound of formula  $RLi$  having the indicated meaning for R, thereby preparing the compound of formula I having the indicated meanings.

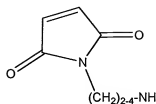
38. (Withdrawn) A process for the preparation of a compound of formula I (type 23)



Formula I

wherein R,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ , S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl,  $OR^1$ ,  $NR^1R^2$  or



$\text{R}^1 = \text{H}$ , alkyl or aryl

$\text{R}^2 = \text{H}$ , alkyl or aryl

$\text{S} = \text{H}$ , Hal,  $\text{NO}_2$  or  $\text{NHR}^3$

$\text{U} = \text{H}$ , Hal,  $\text{NO}_2$  or  $\text{NHR}^3$

$\text{R}^3 = \text{H}$ , HCO or alkyl-CO

$\text{T} = \text{H}$  or  $\text{OR}^4$

$\text{R}^4 = \text{H}$ , alkyl, aryl,  $\text{COR}^5$ ,  $\text{P}(\text{O})(\text{OR}^6)_2$  or  $\text{SO}_3\text{R}^6$

$\text{R}^5 = \text{alkyl}$ , alkenyl, aryl or heteroaryl

$\text{R}^6 = \text{H}$ , alkyl or a metal ion

$\text{V} = \text{H}$ ,  $\text{OR}^7$ , Hal or (with  $\text{W} = \text{O}$ ) O

$\text{R}^7 = \text{H}$ , alkyl or  $\text{COR}^8$

$\text{R}^8 = \text{alkyl}$ , alkenyl or aryl

$\text{W} = \text{H}$  or alkyl or (with  $\text{V}$ ) O

$\text{X} = \text{H}$ , alkyl, alkenyl or  $\text{CH}_2\text{OR}^9$

$\text{R}^9 = \text{H}$ , alkyl, alkenyl, aryl or  $\text{COR}^{10}$

$\text{R}^{10} = \text{alkyl}$ , alkenyl, aryl or heteroaryl

$\text{Y} = (\text{for } \text{Z} = \text{CH}_3 \text{ or } \text{COR}^{11}) \text{ free electron pair or (for } \text{Z} = \text{CH}_3) \text{ O}$

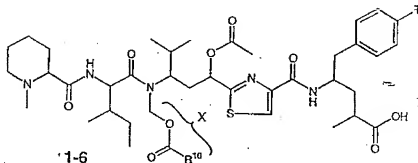
$\text{R}^{11} = \text{alkyl}$ ,  $\text{CF}_3$  or aryl and/or

$\text{Z} = (\text{for } \text{Y} = \text{O} \text{ or free electron pair}) \text{ CH}_3 \text{ or (for } \text{Y} = \text{free electron pair}) \text{ COR}^{11}$

wherein  $\text{R} = \text{amino radical of 1-(2-amino-C}_{2.4}\text{alkyl)-pyrrole-2,5-dione}$ ,  $\text{S} = \text{U} = \text{H}$ ,  $\text{T} = \text{H}$  or

$\text{OR}^4$ ,  $\text{R}^4 = \text{H}$ ,  $\text{V} = \text{OR}^7$ ,  $\text{R}^7 = \text{COR}^8$ ,  $\text{R}^8 = \text{alkyl}$ , preferably  $\text{C}_{1-4}\text{alkyl}$ , especially methyl,  $\text{W} = \text{H}$ ,  $\text{X}$

= CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, especially C<sub>1-6</sub>alkyl, alkenyl, especially C<sub>2-6</sub>alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH<sub>3</sub>, wherein a compound of Formula II (type 1, 2, 3, 4, 5 or 6)

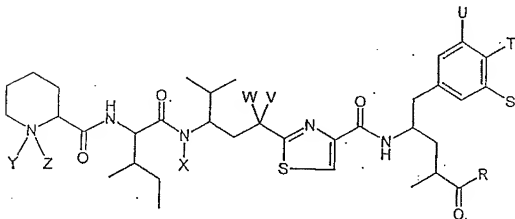


Formula II

or the compound of Formula I (type 13) is subjected to amination using 1-(2-amino-C<sub>2-4</sub>alkyl)-pyrrole-2,5-dione, thereby preparing the compound of formula I having the indicated meanings.

39. (Withdrawn) The process according to claim 38, wherein the amination is carried out in the presence of EDC in methylene chloride.

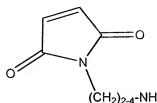
40. (Withdrawn) A process for the preparation of a compound of formula I (type 24)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

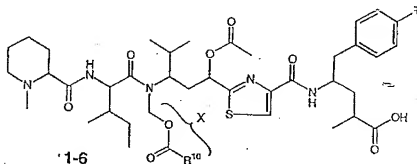
Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = OR<sup>4</sup>, R<sup>4</sup> = P(O)(OR<sup>6</sup>)<sub>2</sub> wherein R<sup>6</sup> = H or alkyl,

especially C<sub>1-4</sub>alkyl, or R<sup>4</sup> = SO<sub>3</sub>R<sup>6</sup> wherein R<sup>6</sup> = H. V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably

$C_{1-4}$ alkyl, especially methyl,  $W = H$   $X = CH_2OR^9$ ,  $R^9 = COR^{10}$ ,  $R^{10} =$  alkyl, especially  $C_{1-6}$ alkyl, alkenyl, especially  $C_{2-6}$ alkenyl, aryl or heteroaryl,  $Y =$  free electron pair and  $Z = CH_3$ , wherein

- (i) a compound of Formula II (type 1, 2 or 3)



Formula II

or

- (ii) the compound of Formula I (type 13)

is reacted with

- (a) a compound of formula  $P(O)(OR^6)_2OH$  wherein  $R^6 = H$  or alkyl, especially  $C_{1-4}$ alkyl, or  
(b)  $SO_3$

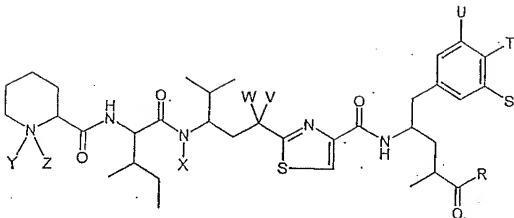
thereby preparing the compound of formula I having the indicated meanings.

41. (Withdrawn) The process according to claim 40, wherein the variant (a) is carried out in the presence of  $I_2$  and pyridine in methylene chloride.

42. (Withdrawn) The process according to claim 40, wherein the variant (b) is carried out using pyridine  $SO_3$ .

43. (Withdrawn) A process for the preparation of a compound of formula I (type 25)

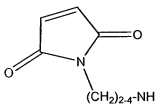




Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

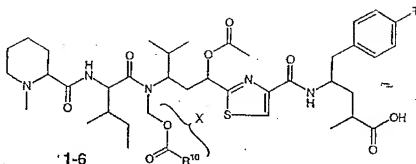
Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = OR<sup>4</sup>, R<sup>4</sup> = COR<sup>5</sup>, R<sup>5</sup> = alkyl, especially C<sub>1-4</sub>alkyl, alkenyl or N(R<sup>12</sup>)<sub>2</sub>, R<sup>12</sup> = alkyl, V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl, W = H, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, especially C<sub>1-6</sub>alkyl, alkenyl, especially C<sub>2-6</sub>alkenyl, aryl or heteroaryl, in which process

(i) a compound of Formula II (type 1, 2 or 3)



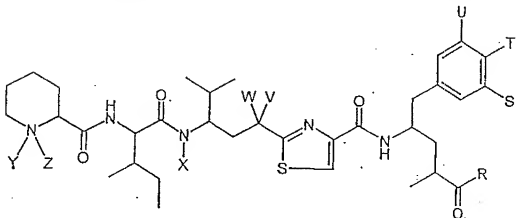
Formula II

or

(ii) the compound of Formula II (type 13) is subjected to acylation, thereby preparing the compound of formula I having the indicated meanings.

44. (Withdrawn) The process according to claim 43, wherein the acylation is carried out using an acyl halide of formula R<sup>5</sup>COCl wherein R<sup>5</sup> = alkyl, especially C<sub>1-4</sub>alkyl, alkenyl or N(R<sup>12</sup>)<sub>2</sub> and R<sup>12</sup> = alkyl, especially using an acyl chloride, in the presence of an organic base, especially a trialkylamine, preferably triethylamine, in an organic solvent, especially THF.

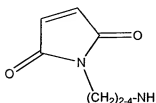
45. (Withdrawn) A process for the preparation of a compound of formula I (type 26)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

$R^6 = H$ , alkyl or a metal ion

$V = H$ ,  $OR^7$ , Hal or (with  $W = O$ )  $O$

$R^7 = H$ , alkyl or  $COR^8$

$R^8 =$  alkyl, alkenyl or aryl

$W = H$  or alkyl or (with  $V$ )  $O$

$X = H$ , alkyl, alkenyl or  $CH_2OR^9$

$R^9 = H$ , alkyl, alkenyl, aryl or  $COR^{10}$

$R^{10} =$  alkyl, alkenyl, aryl or heteroaryl

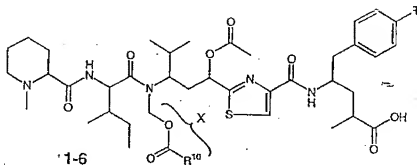
$Y =$  (for  $Z = CH_3$  or  $COR^{11}$ ) free electron pair or (for  $Z = CH_3$ )  $O$

$R^{11} =$  alkyl,  $CF_3$  or aryl and/or

$Z =$  (for  $Y = O$  or free electron pair)  $CH_3$  or (for  $Y =$  free electron pair)  $COR^{11}$

wherein  $R = OR^1$ ,  $R^1 =$  alkyl, especially  $C_{1-4}$ alkyl, or alkenyl,  $S = U = H$ ,  $T = OR^4$ ,  $R^4 =$  alkyl, especially  $C_{1-4}$ alkyl, or alkenyl,  $V = OR^7$ ,  $R^7 = COR^8$ ,  $R^8 =$  alkyl, preferably  $C_{1-4}$ alkyl, especially methyl,  $W = H$ ,  $X = CH_2OR^9$ ,  $R^9 = COR^{10}$ ,  $R^{10} =$  alkyl, especially  $C_{1-6}$ alkyl, alkenyl, especially  $C_{2-6}$ alkenyl, aryl or heteroaryl,  $Y =$  free electron pair and  $Z = CH_3$ , wherein

- (i) a compound of Formula II (type 1, 2 or 3)



Formula II

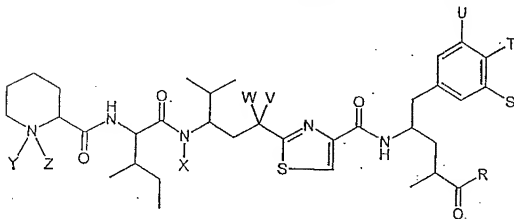
or

- (ii) the compound of Formula I (type 13) is subjected to alkylation, thereby preparing the compound of formula I having the indicated meanings.

46. (Withdrawn) The process according to claim 45, wherein the alkylation is carried out using an alkyl iodide of formula  $R^4I$  wherein  $R^4 =$  alkyl, especially  $C_{1-4}$ alkyl, or alkenyl in the presence of a weak base, especially  $Ag_2O$ , in an organic solvent, especially methylene chloride.

47. (Withdrawn) The process according to claim 45, wherein methylation is carried out using diazomethane in an organic solvent, especially methanol.

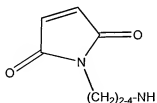
48. (Withdrawn) A process for the preparation of a compound of formula I (type 27)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

$R^3 = H, HCO \text{ or alkyl-CO}$

$T = H \text{ or } OR^4$

$R^4 = H, \text{ alkyl, aryl, } COR^5, P(O)(OR^6)_2 \text{ or } SO_3R^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = H, \text{ alkyl or a metal ion}$

$V = H, OR^7, \text{ Hal or (with } W = O) O$

$R^7 = H, \text{ alkyl or } COR^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = H \text{ or alkyl or (with } V) O$

$X = H, \text{ alkyl, alkenyl or } CH_2OR^9$

$R^9 = H, \text{ alkyl, alkenyl, aryl or } COR^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for } Z = CH_3 \text{ or } COR^{11}) \text{ free electron pair or (for } Z = CH_3) O$

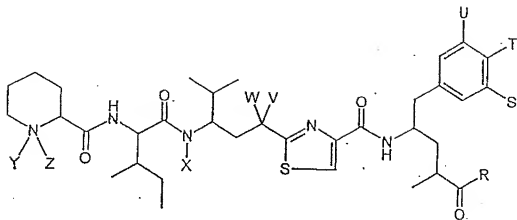
$R^{11} = \text{alkyl, } CF_3 \text{ or aryl and/or}$

$Z = (\text{for } Y = O \text{ or free electron pair}) CH_3 \text{ or (for } Y = \text{free electron pair}) COR^{11}$

wherein  $R = OR^1$ ,  $R^1 = H$ ,  $S = U = H$ ,  $T = OR^4$ ,  $R^4 = \text{alkyl, especially } C_{1-4}\text{alkyl, or alkenyl}$ ,  $V = OR^7$ ,  $R^7 = COR^8$ ,  $R^8 = \text{alkyl, preferably } C_{1-4}\text{alkyl, especially methyl}$ ,  $W = H$ ,  $X = CH_2OR^9$ ,  $R^9 = COR^{10}$ ,  $R^{10} = \text{alkyl, especially } C_{1-6}\text{alkyl, alkenyl, especially } C_{2-6}\text{alkenyl, aryl or heteroaryl}$ ,  $Y = \text{free electron pair and } Z = CH_3$ , wherein the compound of Formula I (type 26) is subjected to partial dealkylation or dealkenylation enzymatically, thereby preparing the compound of formula I having the indicated meanings.

49. (Withdrawn) The process according to claim 48, wherein an esterase, especially pig liver esterase, is used as the enzyme.

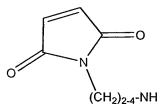
50. (Withdrawn) A process for the preparation of a compound of formula I (type 27)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

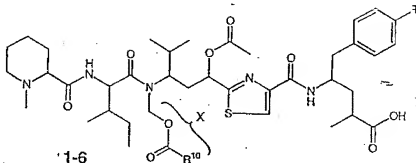
R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = OR<sup>4</sup>, R<sup>4</sup> = alkyl, especially C<sub>1-4</sub>alkyl, or alkenyl, V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl. W = H, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, especially C<sub>1-6</sub>alkyl, alkenyl, especially C<sub>2-6</sub>alkenyl, aryl or heteroaryl, wherein

(a) in a first step

(i) a compound of Formula II (type 1, 2 or 3)



Formula II

or

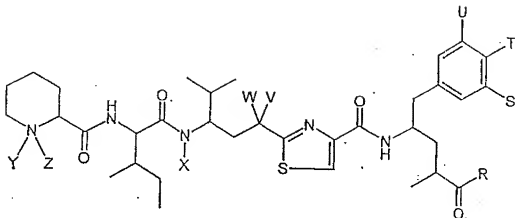
(ii) the compound of Formula I (type 13)

is subjected to a process according to claim 45-and

(b) in a second step a process according to claim 48 is carried out, thereby preparing the compound of formula I having the indicated meanings.

51. (Withdrawn) A process for the preparation of a compound of formula I (type 28 and 29)

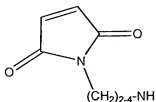




Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

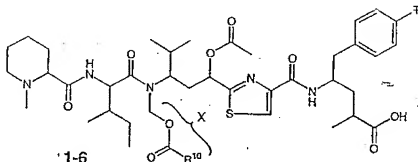
Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = H or Hal, T = OR<sup>4</sup>, R<sup>4</sup> = H, U = Hal, V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl, W = H, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, especially C<sub>1-6</sub>alkyl, alkenyl, especially C<sub>2-6</sub>alkenyl, aryl or heteroaryl, wherein

(i) a compound of Formula II (type 1, 2, 3, 4, 5 or 6)



Formula II

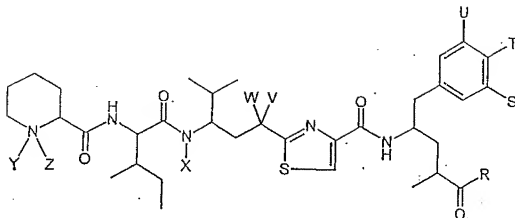
or

(ii) the compound of Formula I (type 13)

is subjected to halogenation or dihalogenation in the position ortho to the T substituent, thereby preparing the compound of formula I having the indicated meanings.

52. (Withdrawn) The process according to claim 51, wherein the halogenation is carried out in the presence of C<sub>5</sub>Cl<sub>5</sub>NF-triflate, SO<sub>2</sub>Cl<sub>2</sub>, NBS and ICI

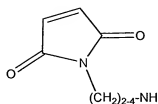
53. (Withdrawn) A process for the preparation of a compound of formula I (type 30)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

$V = H, OR^7, Hal$  or (with  $W = O$ )  $O$

$R^7 = H, alkyl$  or  $COR^8$

$R^8 = alkyl, alkenyl$  or  $aryl$

$W = H$  or  $alkyl$  or (with  $V$ )  $O$

$X = H, alkyl, alkenyl$  or  $CH_2OR^9$

$R^9 = H, alkyl, alkenyl, aryl$  or  $COR^{10}$

$R^{10} = alkyl, alkenyl, aryl$  or  $heteroaryl$

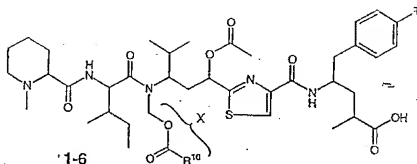
$Y = (for Z = CH_3 \text{ or } COR^{11}) \text{ free electron pair}$  or (for  $Z = CH_3$ )  $O$

$R^{11} = alkyl, CF_3$  or  $aryl$  and/or

$Z = (for Y = O \text{ or free electron pair}) CH_3$  or (for  $Y = \text{free electron pair}$ )  $COR^{11}$

wherein  $R = OR^1, R^1 = H, S = H, T = OR^4, R^4 = H, U = NO_2, V = OR^7, R^7 = COR^8, R^8 = alkyl$ , preferably  $C_{1-4}alkyl$ , especially *methyl*.  $W = H, X = CH_2, OR^9, R^9 = COR^{10}, R^{10} = alkyl$ , especially  $C_{1-6}alkyl, alkenyl$ , especially  $C_{2-6}alkenyl, aryl$  or  $heteroaryl, Y = \text{free electron pair}$  and  $Z = CH_3$ , wherein

- (i) a compound of Formula II (type 1, 2, 3, 4, 5 or 6)



Formula II

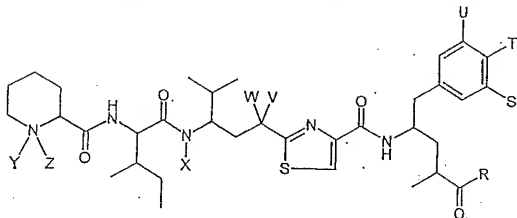
or

- (ii) the compound of Formula I (type 13)

is subjected to nitration in the position ortho to the  $T$  substituent, thereby preparing the compound of formula I having the indicated meanings.

54. (Withdrawn) The process according to claim 53, wherein the nitration is carried out using an alkali metal nitrite, especially sodium nitrite, and acetic acid in the presence of an organic solvent, especially ethanol.

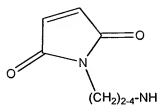
55. (Withdrawn) A process for the preparation of a compound of formula I (type 31)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

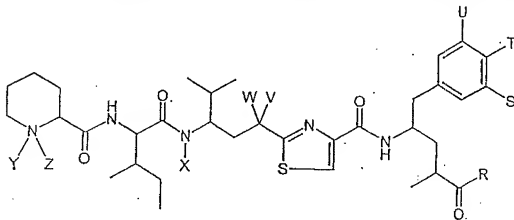
R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = H, T = OR<sup>4</sup>, R<sup>4</sup> = H, U = NH<sub>2</sub>, V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl, W = H, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, especially C<sub>1-6</sub>alkyl, alkenyl, especially C<sub>2-6</sub>alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH<sub>3</sub>, wherein the compound of Formula I (type 30) is subjected to catalytic reduction, thereby preparing the compound of formula I having the indicated meanings.

56. (Withdrawn) The process according to claim 55, wherein the reduction is carried out using elemental hydrogen in the presence of palladium on activated carbon, especially in an organic solvent, preferably ethanol.

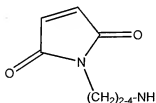
57. (Withdrawn) A process for the preparation of a compound of formula I (type 31)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

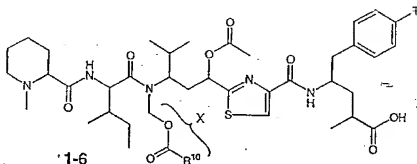
R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z= (for Y = O or free electron pair) CH<sub>3</sub> or (for Y =free electron pair) COR<sup>11</sup>

wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = H, T = OR<sup>4</sup>, R<sup>4</sup> = H, U = NH<sub>2</sub>, V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl, W = H, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl, preferably C<sub>1-6</sub>alkyl, alkenyl, especially C<sub>2-6</sub>alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH<sub>3</sub>, wherein

(a) in a first step

(i) a compound of the Formula II (type 1, 2, 3, 4, 5 or 6)

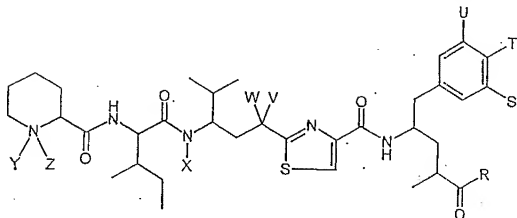


Formula II

or

(ii) the compound of Formula I (type 13) is subjected to a process according to claim 53 and  
(b) in a second step the resulting product (type 30) is subjected to a process according to claim 55, thereby preparing the compound of formula I having the indicated meanings.

58. (Withdrawn) A process for the preparation of a compound of formula I (type 32)

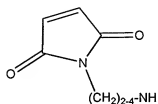


Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:



R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

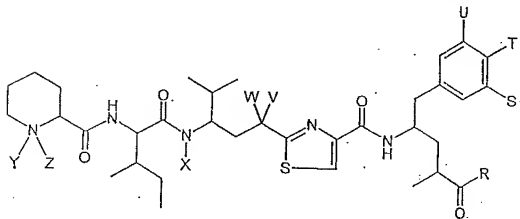
R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein  $R = OR^1$ ,  $R^1 = H$ ,  $S = H$ ,  $T = OR^4$ ,  $R^4 = H$ ,  $U = NHR^3$ ,  $R^3 = \text{alkyl-CO}$ , especially  $C_{1-4}\text{alkyl-CO}$ ,  $V = OR^7$ ,  $R^7 = COR^8$ ,  $R^8 = \text{alkyl}$ , preferably  $C_{1-4}\text{alkyl}$ , especially methyl,  $W = H$ ,  $X = CH_2OR^9$ ,  $R^9 = COR^{10}$ ,  $R^{10} = \text{alkyl}$ , especially  $C_{1-6}\text{alkyl}$ , alkenyl, especially  $C_{2-6}\text{alkenyl}$ , aryl or heteroaryl,  $Y = \text{free electron pair}$  and  $Z = CH_3$ , wherein the compound of Formula I (type 31) is subjected to alkylation, thereby preparing the compound of formula I having the indicated meanings.

59. (Withdrawn) The process according to claim 58, wherein the alkylation is carried out using an acid anhydride of formula  $(R^3)_2O$  wherein  $R^3 = \text{alkyl-CO}$ , especially  $C_{1-4}\text{alkyl-CO}$ .

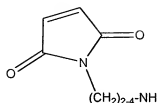
60. (Withdrawn) A process for the preparation of a compound of formula I (type 32)



Formula I

wherein  $R, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}, S, T, U, V, W, X, Y$  and  $Z$  have the following meanings:

$R = H, \text{alkyl}, \text{aryl}, OR^1, NR^1R^2$  or



$\text{R}^1 = \text{H}$ , alkyl or aryl

$\text{R}^2 = \text{H}$ , alkyl or aryl

$\text{S} = \text{H}$ , Hal,  $\text{NO}_2$  or  $\text{NHR}^3$

$\text{U} = \text{H}$ , Hal,  $\text{NO}_2$  or  $\text{NHR}^3$

$\text{R}^3 = \text{H}$ ,  $\text{HCO}$  or alkyl-CO

$\text{T} = \text{H}$  or  $\text{OR}^4$

$\text{R}^4 = \text{H}$ , alkyl, aryl,  $\text{COR}^5$ ,  $\text{P}(\text{O})(\text{OR}^6)_2$  or  $\text{SO}_3\text{R}^6$

$\text{R}^5 = \text{alkyl}$ , alkenyl, aryl or heteroaryl

$\text{R}^6 = \text{H}$ , alkyl or a metal ion

$\text{V} = \text{H}$ ,  $\text{OR}^7$ , Hal or (with  $\text{W} = \text{O}$ ) O

$\text{R}^7 = \text{H}$ , alkyl or  $\text{COR}^8$

$\text{R}^8 = \text{alkyl}$ , alkenyl or aryl

$\text{W} = \text{H}$  or alkyl or (with  $\text{V}$ ) O

$\text{X} = \text{H}$ , alkyl, alkenyl or  $\text{CH}_2\text{OR}^9$

$\text{R}^9 = \text{H}$ , alkyl, alkenyl, aryl or  $\text{COR}^{10}$

$\text{R}^{10} = \text{alkyl}$ , alkenyl, aryl or heteroaryl

$\text{Y} = (\text{for } \text{Z} = \text{CH}_3 \text{ or } \text{COR}^{11}) \text{ free electron pair or (for } \text{Z} = \text{CH}_3) \text{ O}$

$\text{R}^{11} = \text{alkyl}$ ,  $\text{CF}_3$  or aryl and/or

$\text{Z} = (\text{for } \text{Y} = \text{O or free electron pair}) \text{ CH}_3 \text{ or (for } \text{Y} = \text{free electron pair}) \text{ COR}^{11}$

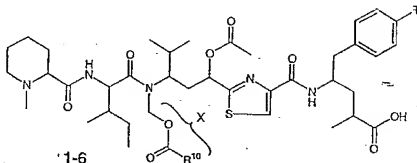
wherein  $\text{R} = \text{OR}^1$ ,  $\text{R}^1 = \text{H}$ ,  $\text{S} = \text{H}$ ,  $\text{T} = \text{OR}^4$ ,  $\text{R}^4 = \text{H}$ ,  $\text{U} = \text{NHR}^3$ ,  $\text{R}^3 = \text{alkyl-CO}$ , especially  $\text{C}_{1-4}$

alkyl-CO,  $\text{V} = \text{OR}^7$ ,  $\text{R}^7 = \text{COR}^8$ ,  $\text{R}^8 = \text{alkyl}$ , preferably  $\text{C}_{1-4}$ alkyl, especially methyl,  $\text{W} = \text{H}$ ,  $\text{X} =$

$\text{CH}_2\text{OR}^9$ ,  $\text{R}^9 = \text{COR}^{10}$ ,  $\text{R}^{10} = \text{alkyl}$ , especially  $\text{C}_{1-6}\text{alkyl}$ , alkenyl, especially  $\text{C}_{2-6}\text{alkenyl}$ , aryl or heteroaryl, wherein

(a) in an optional first step

(i) a compound of Formula II (type 1, 2, 3, 4, 5 or 6)



Formula II

or

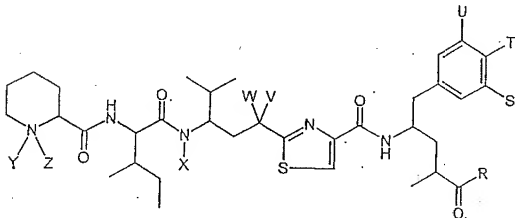
(ii) the compound of Formula I (type 13)

is subjected to a process according to claim 53,

(b) in a second step the resulting product (type 30) is subjected to a process according to claim 55 and

(c) in a third step a process according to claim 58 is carried out, thereby preparing the compound of formula I having the indicated meanings.

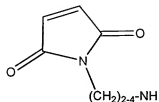
61. (Withdrawn) A process for the preparation of a compound of formula I (type 33)



Formula I

wherein  $\text{R}$ ,  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$ ,  $\text{R}^4$ ,  $\text{R}^5$ ,  $\text{R}^6$ ,  $\text{R}^7$ ,  $\text{R}^8$ ,  $\text{R}^9$ ,  $\text{R}^{10}$ ,  $\text{R}^{11}$ ,  $\text{S}$ ,  $\text{T}$ ,  $\text{U}$ ,  $\text{V}$ ,  $\text{W}$ ,  $\text{X}$ ,  $\text{Y}$  and  $\text{Z}$  have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

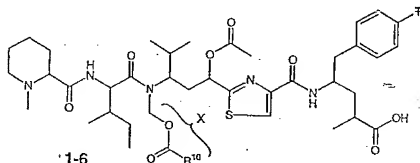
Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z = (for Y = O or free electron pair) CH<sub>3</sub> or (for Y = free electron pair) COR<sup>11</sup>

wherein  $R = OR^1$ ,  $R^1 = H$ ,  $S = U = H$ ,  $T = OR^4$ ,  $R^4 = H$ ,  $V = OR^7$ ,  $R^7 = COR^8$ ,  $R^8 = \text{alkyl}$ , preferably  $C_{1-4}\text{alkyl}$ , especially methyl,  $W = H$ ,  $X = CH_2OR^9$ ,  $R^9 = COR^{10}$ ,  $R^{10} = \text{alkyl}$ , especially  $C_{1-6}\text{alkyl}$ , alkenyl, especially  $C_{2-6}\text{alkenyl}$ , aryl or heteroaryl,  $Y = O$  and  $Z = CH_3$ , wherein

- (i) a compound of Formula II (type 1, 2, 3, 4, 5 or 6)



Formula II

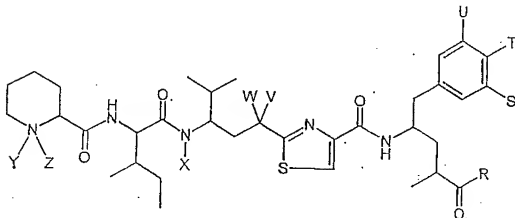
or

- (ii) the compound of Formula I (type 13)

is subjected to a reaction for formation of an N-oxide, thereby preparing the compound of formula I having the indicated meanings.

62. (Withdrawn) The process according to claim 61, wherein the N-oxide formation is carried out using mCPBA in an organic solvent, especially methylene chloride.

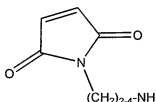
63. (Withdrawn) A process for the preparation of a compound of formula (type 34)



Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or



R<sup>1</sup> = H, alkyl or aryl

R<sup>2</sup> = H, alkyl or aryl

S = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

U = H, Hal, NO<sub>2</sub> or NHR<sup>3</sup>

R<sup>3</sup> = H, HCO or alkyl-CO

T = H or OR<sup>4</sup>

R<sup>4</sup> = H, alkyl, aryl, COR<sup>5</sup>, P(O)(OR<sup>6</sup>)<sub>2</sub> or SO<sub>3</sub>R<sup>6</sup>

R<sup>5</sup> = alkyl, alkenyl, aryl or heteroaryl

R<sup>6</sup> = H, alkyl or a metal ion

V = H, OR<sup>7</sup>, Hal or (with W = O) O

R<sup>7</sup> = H, alkyl or COR<sup>8</sup>

R<sup>8</sup> = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH<sub>2</sub>OR<sup>9</sup>

R<sup>9</sup> = H, alkyl, alkenyl, aryl or COR<sup>10</sup>

R<sup>10</sup> = alkyl, alkenyl, aryl or heteroaryl

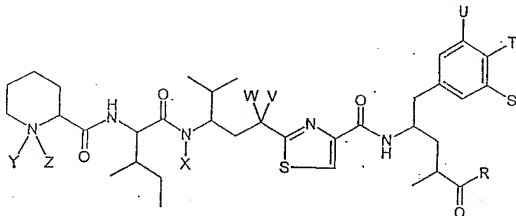
Y = (for Z = CH<sub>3</sub> or COR<sup>11</sup>) free electron pair or (for Z = CH<sub>3</sub>) O

R<sup>11</sup> = alkyl, CF<sub>3</sub> or aryl and/or

Z= (for Y = O or free electron pair) CH<sub>3</sub> or (for Y =free electron pair) COR<sup>11</sup>  
wherein R = OR<sup>1</sup>, R<sup>1</sup> = H, S = U = H, T = OR<sup>4</sup>, R<sup>4</sup> = H, V = OR<sup>7</sup>, R<sup>7</sup> = COR<sup>8</sup>, R<sup>8</sup> = alkyl,  
preferably C<sub>1-4</sub>alkyl, especially methyl, W = H, X = CH<sub>2</sub>OR<sup>9</sup>, R<sup>9</sup> = COR<sup>10</sup>, R<sup>10</sup> = alkyl,  
especially C<sub>1-6</sub>alkyl, alkenyl, especially C<sub>2-6</sub>alkenyl, aryl or heteroaryl, Y = free electron pair, Z =  
COR<sup>11</sup> and R<sup>11</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl, the compound of Formula I (type  
33) is reacted with an acylating agent, thereby preparing the compound of formula I having the  
indicated meanings.

64. (Withdrawn) The process according to claim 63, wherein the acylation is carried  
out using an acid anhydride, especially acetic anhydride, preferably at elevated temperature.

65. (Withdrawn) A process for the preparation of a compound of formula I (type 34)

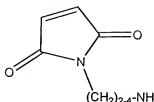


Formula I

wherein R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, S, T, U, V, W, X, Y and Z have the  
following meanings:

R = H, alkyl, aryl, OR<sup>1</sup>, NR<sup>1</sup>R<sup>2</sup> or





$R^1 = \text{H, alkyl or aryl}$

$R^2 = \text{H, alkyl or aryl}$

$S = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$U = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$R^3 = \text{H, HCO or alkyl-CO}$

$T = \text{H or OR}^4$

$R^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with V) O}$

$X = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for } Z = \text{CH}_3 \text{ or COR}^{11}) \text{ free electron pair or (for } Z = \text{CH}_3) \text{ O}$

$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

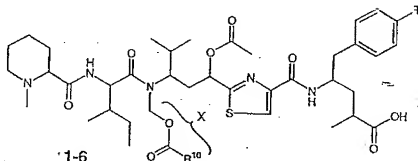
$Z = (\text{for } Y = \text{O or free electron pair}) \text{ CH}_3 \text{ or (for } Y = \text{free electron pair}) \text{ COR}^{11}$

wherein  $R = \text{OR}^1$ ,  $R^1 = \text{H}$ ,  $S = U = \text{H}$ ,  $T = \text{OR}^4$ ,  $R^4 = \text{H}$ ,  $V = \text{OR}^7$ ,  $R^7 = \text{COR}^8$ ,  $R^8 = \text{alkyl}$ , preferably  $\text{C}_{1-4}\text{alkyl}$ , especially methyl,  $W = \text{H}$ ,  $X = \text{CH}_2\text{OR}^9$ ,  $R^9 = \text{COR}^{10}$ ,  $R^{10} = \text{alkyl}$ ,

especially C<sub>1-6</sub>alkyl, alkenyl, especially C<sub>2-6</sub>alkenyl, aryl or heteroaryl, Y = free electron pair, Z = COR<sup>11</sup> and R<sup>11</sup> = alkyl, preferably C<sub>1-4</sub>alkyl, especially methyl, wherein

(a) in a first step

(i) a compound of Formula II (type 1, 2, 3, 4, 5, or 6)



Formula II

or

(ii) the compound of Formula I (type 13) is subjected to a process according to claim 61 and

(b) in a second step the resulting product (type 33) is subjected to a process according to claim 63,

thereby preparing the compound of formula I having the indicated meanings.

66. (Withdrawn) A therapeutic preparation, especially a cytostatic agent, comprising one or more compounds according to claim 1 as active ingredient in addition to one or more optional customary carriers and/or one or more optional customary diluents.

67. (Withdrawn) A therapeutic preparation, especially a cytostatic agent, comprising one or more products of a process according to claims 3 as active ingredient in addition to one or more optional customary carriers and/or one or more optional customary diluents.

68. (Currently Amended) The compound according to claim 1, wherein alkyl is branched, unbranched or cyclic C<sub>1-20</sub>alkyl, especially C<sub>1-7</sub>alkyl, preferably C<sub>1-8</sub>alkyl and more preferably C<sub>1-4</sub>alkyl, especially methyl, ethyl, propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, and cycloalkyl having preferably from 3 to 8 carbon atoms in the ring.

69. (Currently Amended) The compound according to claim 1, wherein

alkenyl is branched, unbranched or cyclic  $C_{2-20}$ alkenyl, especially  $C_{2-7}$ alkenyl, preferably  $C_{2-6}$ alkenyl and more preferably  $C_{2-4}$ alkenyl, especially vinyl, allyl, propen-1-yl, propen-2-yl, but-1-en-1-yl, but-1-en-2-yl, but-1-en-3-yl, but-1-en-4-yl, but-2-en-1-yl, but-2-en-2-yl, 2-methyl-propen-1-yl, 2-methyl-propen-3-yl, and cycloalkenyl having preferably from 3 to 8 carbon atoms in the ring and the number of double bonds in the alkenyl groups being from 1 to 3.

70. (Previously presented) The compound according to claim 1, wherein aryl is phenyl, naphthyl and biphenyl.

71. (Previously presented) The compound according to claim 1, wherein heteroaryl is furyl, thienyl, imidazolyl, indolyl, pyridyl, pyridinyl, pyrrolyl, thiazolyl, oxazolyl or pyrimidinyl.

72. (Currently Amended) The compound according to claim 1, wherein alkyl, alkenyl, aryl and heteroaryl are unsubstituted or substituted and, especially, carry, in any position, from 1 to 3 substituents from the group formed by  $C_{1-3}$ alkyl,  $C_{1-3}$ alkoxy, hydroxy, amino ( $NH_2$ ) and nitro ( $NO_2$ ).

73. (New) The compound according to claim 2, wherein  $R$ ,  $R^1$ ,  $R^4$ ,  $R^5$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$  and/or  $R^{11} = C_{1-4}$  alkyl-substituted phenyl.

74. (New) The compound according to claim 2, wherein  $R^6 = \text{an Na ion}$

75. (New) The compound according to claim 2, wherein  $R^{10} = C_{2-4}$ alkenyl.

76. (New) The compound according to claim 68, wherein the alkyl is cyclic  $C_{1-7}$ alkyl or  $C_{1-8}$ alkyl.

77. (New) The compound according to claim 76, wherein the alkyl is cyclic  $C_{1-4}$ alkyl.

78. (New) The compound according to claim 77, wherein the alkyl is selected from the group consisting of methyl, ethyl, propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, and cycloalkyl having from 3 to 8 carbon atoms in the ring.

79. (New) The compound according to claim 69, wherein the alkenyl is C<sub>2-7</sub>alkenyl or C<sub>2-6</sub>alkenyl.

80. (New) The compound according to claim 79, wherein the alkenyl is C<sub>2-4</sub>alkenyl.

81. (New) The compound according to claim 80, wherein the alkenyl is selected from the group consisting of vinyl, allyl propen-1-yl, propen-2-yl, but-1-en-1-yl, but-1-en-2-yl, but-1-en-3-yl, but-1-en-4-yl, but-2-en-1-yl, but-2-en-2-yl, 2methyl-propen-1-yl, 2-methyl-propen-3-yl, and cycloalkenyl having from 3 to 8 carbon atoms in the ring and the number of double bonds in the alkenyl groups being from 1 to 3.

82. (New) The compound according to claim 72, wherein the alkyl, alkenyl, aryl and heteroaryl carry, in any position, from 1 to 3 substituents from the group formed by C<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkoxy, hydroxy, amino (NH<sub>2</sub>) and nitro (NO<sub>2</sub>)